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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: DIAZABICYCLONONENE DERIVATIVES

(54) Title: DIAZABICYCLONONENE DERIVATIVES

(57) Abstract: The invention relates to novel 3,9-diazabicyclo[3.3.1] nonene derivatives and related compounds and their use as active ingredients in the preparation of pharmaceutical compositions. The invention also comes related aspects including processes active ingredients on the preparation of pharmaceutical compositions. The invention also comes related aspects including processes Lubos [CH/CH]; Kurzelängeweg 28, CH-4123 Allschwil

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

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active ingredients in the preparation of pharmaceutical compositions. The invention also concerns related aspects including processes for the preparation of the compounds, pharmaceutical compositions containing one or more of those compounds and especially their use as inhibitors of renin.

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PCT/EP2004/004372 A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D471/08 A61K31/4995 A61P13/12 A61P9/12 According to International Patent Classification (IPC) or to both national classification and IPC B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) $IPC\ 7\ C07D$ Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, CHEM ABS Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. Α WO 97/09311 A (HOFFMANN LA ROCHE) 1,9-1113 March 1997 (1997-03-13) cited in the application claims 1,24,24 Α US 3 509 161 A (DOLD OTTO ET AL) 1,9-1128 April 1970 (1970-04-28) column 2, line 49 - line 61; examples; table Further documents are listed in the continuation of box C. Patent family members are listed in annex. Special categories of cited documents: ng date lion but ling the ntion ed to ken alone

Date of the actual completion of the international search Date of mailing of the international search report 17/12/2004 9 December 2004 Name and mailing address of the ISA Authorized officer European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31.651 epo nl, Fax: (+31-70) 340-3016

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Internatid oplication No PCT/EP2004/004372

A EL-ABBADY S A ET AL: "ENHANCED REACTIVITY OF PYRIDIN-3-OL TOWARDS 4-PHENYL-1,2,4-TRIAZOLINE 3,5-DIONE: FMO TREATMENT OF THE CYCLOADDITION PROCESS BY	Relevant to claim No.
OF PYRIDIN-3-OL TOWARDS 4-PHENYL-1,2,4-TRIAZOLINE 3,5-DIONE: FMO TREATMENT OF THE CYCLOADDITION PROCESS BY	1
ASED-MO CALCULATIONS METHOD" ZAGAZIG JOURNAL OF PHARMACEUTICAL SCIENCES, FACULTY OF PHARMACY ZAGAZIG UNIVERSITY, CAIRO, EG, vol. 5, no. 1, June 1996 (1996-06), pages 68-74, XP001154254 ISSN: 1110-5089 scheme 1, compounds (4) and (5)	
A,P WO 03/093267 A (REMEN LUBOS; WELLER THOMAS (CH); BUR DANIEL (CH); FISCHLI WALTER (CH)) 13 November 2003 (2003-11-13) cited in the application claims 1,9-12	1,9-12
A,P WO 2004/002957 A (REMEN LUBOS; WELLER THOMAS (CH); BUR DANIEL (CH); FISCHLI WALTER (CH)) 8 January 2004 (2004-01-08) cited in the application claims 1,7-10	1,9-12

Interr nal application No. PCT/EP2004/004372

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)
This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. X Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 10-12 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
This International Searching Authority found multiple inventions in this International application, as follows:
1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest The additional search fees were accompanied by the applicant's protest. No protest accompanied the payment of additional search fees.

information on patent family members

Internatic oplication No

PCT/EP2004/004372

Detent donument		Dublication				
Patent document cited in search report		Publication date	Patent family member(s)		Publication date	
WO 9709311	Α	13-03-1997	AT	242213	T	15-06-2003
			ΑÜ	708616		05-08-1999
			ΑU	6743296		27-03-1997
			BR	9610385		06-07-1999
			CA	2230931		13-03-1997
			CN	1202152		16-12-1998
		•	CZ	9800684		14-10-1998
			DΕ	59610509		10-07-2003
			DK	863875	T3	01-12-2003
			WO	9709311		13-03-1997
			EP	0863875	A1	16-09-1998
			ES	2201192	T3	16-03-2004
			HU	9900926	A2	28-09-1999
			ΙL	123293	Α	24-06-2003
			JP	11500447	T	12-01-1999
			MA	23967	A1	01-04-1997
			NO	980954	Α	28-04-1998
			NZ	315677	Α	28-02-2000
			PL	325425	A1	20-07-1998
			PΤ	863875	T	31-10-2003
			RU	2167865		27-05-2001
			TR	9800409	T1	21-05-1998
			TW	474932	В	01-02-2002
			US	6051712	Α	18-04-2000
			US	6150526	Α	21-11-2000
			ZA	9607424	Α	07-03-1997
US 3509161	Α	28-04-1970	NONE			· ·
WO 03093267	A	13-11-2003	WO	03093267	A1	13-11-2003
WO 2004002957	Α	08-01-2004	WO	2004002957	A1	08-01-2004